COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:32:47 ON 12 NOV 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 NOV 2004 HIGHEST RN 778546-63-7 DICTIONARY FILE UPDATES: 10 NOV 2004 HIGHEST RN 778546-63-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\197974a.str

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\197974.str

L2 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

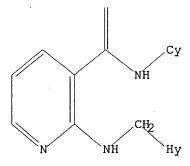
Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2

STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 12:35:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 699857 TO ITERATE

57.2% PROCESSED 400000 ITERATIONS

542 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.11

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

> BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

699857 TO 699857

PROJECTED ANSWERS:

856 TO 1040

L3

542 SEA SSS FUL L1

=> s 12

SAMPLE SEARCH INITIATED 12:35:25 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED

160 ITERATIONS

28 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS:

2442 TO 3958

PROJECTED ANSWERS:

243 TO 877

L4

28 SEA SSS SAM L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

157.10 157.31

FILE 'CAPLUS' ENTERED AT 12:35:57 ON 12 NOV 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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20010112 PRAI US 2001-261339P 20010919 US 2001-323764P P ~ US 2002-46681 A2 20020110 US 2002-197974 Α 20020717 MARPAT 140:16647 OS

=> file caplus

SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 159.69 2.38 FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:37:49 ON 12 NOV 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 12 Nov 2004 VOL 141 ISS 20 FILE LAST UPDATED: 10 Nov 2004 (20041110/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

11 L3 L8

=> s 14

3 L4 1.9

=> d 18 1-11 ibib abs hitstr

ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:515506 CAPLUS

DOCUMENT NUMBER:

141:71453

TITLE:

Preparation of anthranilic acid amide derivatives as

neoplastic inhibitors

INVENTOR(S):

Bold, Guido; Furet, Pascal; Manley, Paul William date not

Novartis Ag, Switz.; Novartis Pharma GmbH PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| | | | | |
| WO 2004052884 | A1 | 20040624 | WO 2003-EP14086 | 20031211 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO::

OTHER SOURCE(S):

MARPAT 141:71453

GI

The title compds. I [wherein R and R0 = independently H, halo, (un)substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R1 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, OCF3, OCH2CF3, OCH2CH2CF3; R2 = perfluoroalkyl; R3 = H or halo; X = OH, alkoxy, alkylthio, imino, alkylimino, halo, etc.; Z = N or CH] or salts, N-oxides, or tautomers thereof are prepared as neoplastic inhibitors for the treatment of human or animal body. For example, the compound II was prepared in a multi-step synthesis. Formulations containing I as an active ingredient were also described.

IT 709045-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of anthranilic acid amide derivs. as neoplastic inhibitors)

RN 709045-41-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N[4-(2,2,2-trifluoroethoxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:950057 CAPLUS

DOCUMENT NUMBER:

140:16647

TITLE:

Preparation of 2-aminopyridine-3-carboxamides as

remedies for angiogenesis mediated diseases

INVENTOR(S):

Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang,

Kevin; Yuan, Chester Chenguang

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA

U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S.

applines

Ser. No. 46,681.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PAT | CENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | NO. | | | ATE | |
|-------|------------------|--------|------|-------|-----|------|--------|------|------|-----|-------|------|-------|-------|-------|------|----------------|-----|
| | US | 2003 | 2251 | 06 | | A1 | | 2003 | 1204 | | US 2 | 002- | 1979 | 74 | | 2 | 0020 | 717 |
| | US | 2003 | 1253 | 39 | | A1 | | 2003 | 0703 | | US 2 | 002- | 4668 | 1 | | 2 | 0020 | 110 |
| | zA | 2003 | 0051 | 97 | | Α | | 2004 | 0319 | | ZA 2 | 003- | 5197 | | | 2 | 0030. | 704 |
| | WO | 2004 | 0074 | 58 | | A1 | | 2004 | 0122 | 1 | WO 2 | 003- | US22 | 417 | | 2 | 0030 | 715 |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | | | | | | | | | | | | EE, | | | | | | |
| | | | | | | | | | | | | KG, | | | | | | |
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| | | | | | | | | | | | | SL, | | | | | | |
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| | | | TJ, | • | 02, | , | , | , | , | , | ٠, | , | 110, | , | , | , | , | , |
| | | RW: | | | KE. | LS. | MW | М7. | SD. | SL | SZ. | TZ, | UG. | 7.M - | 7.W - | АТ. | BE. | BG. |
| | | 144. | | | | | | | | | | GB, | | | | | | |
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| | | | • | | | | | TD, | | DI, | 50, | 01, | 00, | OI, | 011, | 011, | J.,, | 027 |
| PRTOI | יידים | APP | • | • | • | 110, | D11, | 10, | 10 | | 2 פוז | 001- | 2613 | 39p | , | P 2 | 0010 | 112 |
| LICIO | | LALL | 114. | 11410 | • • | | | | | | | 001- | | | | | 0010 | |
| | | | | | | | | | | | | 002- | | | | | 0010 | |
| | | | | | | | | | | | | | | | _ | | 0020. 0020' | |
| ORLUG | THED COUDCE (C). | | | | | MATE | ישיעים | 140. | 1664 | | US Z | 002- | 19/9 | 14 | 4 | n 2 | 0020 | 111 |

OTHER SOURCE(S):

MARPAT 140:16647

GΙ

AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepared Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed.

IT 453561-03-0P 453561-73-4P 453561-77-8P 453561-95-0P 453562-69-1P 453562-83-9P 453563-07-0P 453563-37-6P 453563-79-6P 453564-01-7P 629651-31-6P 629651-56-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453561-03-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 453561-73-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-5-fluoro-N-[4-(1-methylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 453561-77-8 CAPLUS

CN Carbamic acid, [2-[4-[[[2-[(4-pyridinylmethyl)amino]-3-pyridinyl]carbonyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 453561-95-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-nitrophenyl]-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 453562-69-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:591177 CAPLUS

DOCUMENT NUMBER:

139:149652

TITLE:

Preparation of 2-acylaminothiazole derivatives or

salts thereof as c-Mpl receptor ligands

INVENTOR(S):

Sugasawa, Keizo; Watanuki, Susumu; Koga, Yuji; Nagata,

WO 2003-JP270

Hiroshi; Obitsu, Kazuyoshi; Wakayama, Ryutaro;

Hirayama, Fukushi; Suzuki, Ken-ichi

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA | PATENT NO. | | | | | | KIND DATE | | | | | APPLICATION NO. | | | | | | |
|----------|------------------------|-------|-----|-----|-----|---------------|-----------|------|---------------|-----------|------|-----------------|-----|-----|-----|------|-----|--|
| WO | 2003 | 0622: | 33 | | A1 | | 2003 | 0731 | 1 | WO 2 | 003- | JP27 | 0 | | 2 | 0030 | 115 | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | ĮL, | IN, | IS, | JP, | KE, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, | |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | ΝZ, | OM, | PH, | PL, | |
| | | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | |
| | | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR, | BF, | |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | |
| EP | 1466 | 912 | | | A1 | | 2004 | 1013 | | EP 2003-7 | | 7005 | 71 | | - 2 | 0030 | 115 | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | RO, | | | | | | | | | | | |
| PRIORITY | PRIORITY APPLN. INFO.: | | | | | | | | JP 2002-10413 | | | | | | | | | |
| | | | | | | JP 2002-10447 | | | | | | A 20020118 | | | | | | |

OTHER SOURCE(S):

MARPAT 139:149652

GΙ

20030115

2-Acylaminothiazole derivs. or pharmaceutically acceptable salts thereof AB [I; Ar1 = each (un) substituted aryl, monocyclic aromatic heterocyclyl, or bicyclic condensed heterocyclyl; R1 = each (un)substituted aryl or monocyclic aromatic heterocyclyl; R2 = Q, Q1, R24R25N; wherein n, m = an integer of 1-3; when n or m is an integer of ≥2, CR20R21 and CR22R23 may represent a different group; X = O, S, NR26, C(R27)R28; E, G, J, L = N, CR29; R20-R23, R26-R29 = H, OH, lower alkoxy, each (un) substituted lower alkyl, cycloalkyl, aryl, arylalkyl, aromatic heterocyclyl, aromatic heterocyclylalkyl, nonarom. heterocyclyl, lower

RN 629651-86-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,3-dihydro-1,3,3-trimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 629651-87-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(3-azetidinylmethoxy)-4-chlorophenyl]-2-[[(2-methoxy-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{MeO} & \text{N} \\ & \text{CH}_2 \\ & \text{NH} \\ & \text{CH}_2 - \text{O} \\ & \text{NH} - \text{C} \\ & \text{NH} \\ &$$

RN 629651-88-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[1,1-dimethyl-2-(1-pyrrolidinyl)ethyl]phenyl]-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 629651-89-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[1,1-dimethyl-2-(4-morpholinyl)ethyl]phenyl]-2[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ N &$$

RN 629651-90-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[1,1-dimethyl-2-(4-morpholinyl)ethyl]phenyl]-2[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ N & & \\ N$$

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:551181 CAPLUS

DOCUMENT NUMBER:

139:117339

TITLE:

Preparation of substituted arylamine derivatives as

antitumor agents

INVENTOR(S):

Elbaum, Daniel; Askew, Benny; Booker, Shon; Germain,

Julie; Habgood, Gregory; Handley, Michael; Kim,

Tae-Seong; Li, Aiwen; Nishimura, Nobuko; Patel, Vinod

F.; Yuan, Chester Chenguang; Kim, Joseph L.

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA
U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S.

Ser. No. 46,526.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

Ι

PATENT INFORMATION:

| PATENT NO. | | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | | |
|------------|----------|-------|--------|------|-----|-----------|--------|------|----------|-----------------|----------|--------|------|-----|------|------|------|------|------|--|
| | US | 2003 | 1348 | 36 | | A1 | _ | 2003 | 0717 | | US 2 | 2002- | 1979 | 60 | | 2 | 0020 | 717 | | |
| | US | 2002 | 1471 | 98 | | A1 | | 2002 | 1010 | | US 2 | 2002- | 4652 | 6 | | .2 | 0020 | 110 | | |
| | WO | 2004 | 0074 | 57 | | A2 | | 2004 | 0122 | | WO 2 | 2003-1 | JS22 | 276 | | 2 | 0030 | 715 | | |
| | | W: | AE. | AG, | AL. | AM, | AT. | AU, | AZ. | BA. | BB. | BG, | BR. | BY. | BZ. | CA. | CH, | CN. | | |
| | | | | | | | | | | | | EE, | | | | | | | | |
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| | | | TJ, | • | 02, | , | 1117 | 10, | , | ٠, | ۵, | , | , | 21, | 1.0, | 112, | , | 210, | | |
| | | ₽W• | • | | KE. | T.S | MW | M7. | SD | ST. | S 7. | TZ, | uc | 7.M | 7.W | ΔT. | BE. | BG. | | |
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| | | | • | • | | • | | TD, | | ы, | БО, | CL, | co, | C1, | CI1, | OA, | OIV, | 02, | | |
| | IIS | 2004 | • | | • | • | • | • | | | 115 2 | 2004- | 8238 | na | | 2 | 0040 | 412 | | |
| | PRIORITY | | | | | | | | 1014 | | | 2001-2 | | | | | | | | |
| | FRIORIT | LAFE | TITA . | INFO | • • | | | | | | | 2001- | | | | | | | | |
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| | OMUED CO | SUDCE | /C\ . | | | MAD | ם א תו | 120. | 1170 | | UD 2 | 2002-1 | 1919 | 00 | 4 | | | | | |
| | OTHER SO | JUKCE | (5): | | | MAR. | PAT | 139: | 11/3. | 39 | | | | | | 1 | 121 | 0.0 | . v. | |

GI

ΙΙ

AB The title compds. I [R2 = (un) substituted Ph, 9-10 membered bicyclic and 11-14 membered tricyclic (un) saturated heterocyclyl; R8 = halo, NH2, NO2, etc.], and their pharmaceutically acceptable derivs., are prepared and disclosed as agents effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. E.g., a multi-step synthesis of II, starting from 1-dimethylamino-2-propyne and 3-bromo-5-trifluoromethylaniline, was given. Selected compds. of the invention, e.g., II, inhibited VEGF-stimulated cell proliferation at a level below 50 nM. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

IT 442846-11-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of substituted aminopyridines as antitumor agents)

RN 442846-11-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:417626 CAPLUS

DOCUMENT NUMBER:

139:6865

TITLE:

Nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A

Autotocol

receptor ligands

INVENTOR(S):

Flohr, Alexander; Jakob-Roetne, Roland; Norcross,

Roger David; Riemer, Claus

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche A.-G., Switz.

SOURCE:

PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

. 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003043636 A1 20030530 WO 2002-EP12562 20021111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                    20021105
                                20030717
                                            US 2002-288100
     US 2003134854
                          Α1
    US 6620811
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                                20030916
     EP 1448198
                          A1
                                20040825
                                             EP 2002-787632
                                                                    20021111
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.:
                                             EP 2001-127312
                                                                 A 20011119
                                             WO 2002-EP12562
                                                                 W
                                                                    20021111
OTHER SOURCE(S):
                         MARPAT 139:6865
GΙ
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AB Title compds. I [R = 2-substituted 4-pyridyl, 4-substituted 3-pyridyl; R1 = Ph, piperidin-1-yl, morpholinyl] were prepared for use as adenosine A2A receptor ligands. Thus, 4-methoxy-7-morpholinobenzothiazole-2-amine was acylated with 2-chloroisonicotinoyl chloride and treated with HOCH2CH2OMe to give I [R = 2-(2-methoxyethoxy)pyridin-4-yl, R1 = morpholino] which had a pKi for the human A2A receptor of 8.50.

IT 535923-69-4P 535923-96-7P

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

RN 535923-69-4 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

535923-96-7 CAPLUS RN

3-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholiny1)-2-benzothiazoly1]-6-CN [(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:868928 CAPLUS

DOCUMENT NUMBER:

137:352900

TITLE:

Selective anthranilamide pyridine amides as inhibitors

of VEGFR-2 and VEGFR-3

INVENTOR(S):

Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey,

Martin

PATENT ASSIGNEE(S):

Schering Aktiengesellschaft, Germany

SOURCE:

PCT Int. Appl., 115 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

German

PATENT INFORMATION:

| PATENT NO. | | | | | KIN | D | DATE | | | APPL | I CAT | ION | | DATE | | | |
|------------|------|---------------------------------|---------------------------------|--------------------------|---------------------------------|---------------------------------|------|---------------------------------|--------------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|
| | 2002 | | | | A2 20021114 A3 20030501 | | | | | WO 2 | 002- | EP49 | 24 | | 2 | 0020 | 503 |
| | | AE, CO, HR, LT, PT, | AG, CR, HU, LU, RO, | CU, ID, LV, RU, | AM, CZ, IL, MA, SD, | AT, DK, IN, MD, SE, | | AZ, DZ, JP, MK, SI, | EC, KE, MN, SK, | EE, KG, MW, | ES, KP, MX, | FI, KR, MZ, | GB, KZ, NO, | GD, LC, NZ, | GE, LK, OM, | GH, LR, PH, | GM, LS, PL, |

fated good

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                          A1
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     DE 10164590
                          A1
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                                                                     20011221
     EP 1392680
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                                 20040303
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2002009485
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                                 20040706
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PRIORITY APPLN. INFO.:
                                             DE 2001-10123574
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                                                                     20010508
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                                                                     20011221
                                             WO 2002-EP4924
                                                                     20020503
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OTHER SOURCE(S):

MARPAT 137:352900

GΙ

Title compds. I [G, L, M, Q = N, (un) substituted CH, ≤ 1 of them AΒ being N; R = (un) substituted N heterocycle; R1 = (un) substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylaton and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 μM .

IT 474799-59-2

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474799-59-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[3-[(3-isoquinolinylamino)carbonyl]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 474799-51-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474799-51-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

IT 474799-25-2P 474799-26-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474799-25-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(1,1-dimethylethyl)-4-[[[3-[(3-isoquinolinylamino)carbonyl]-2-pyridinyl]amino]methyl]- (9CI) (CA INDEX NAME)

474799-26-3 CAPLUS RN

2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[3-[(3-

isoquinolinylamino)carbonyl]-2-pyridinyl]amino]methyl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN L8

ACCESSION NUMBER:

2002:868925 CAPLUS

DOCUMENT NUMBER:

137:352899

TITLE:

Pyridylmethylanthranilamide N-oxides as inhibitors of

VEGFR II kinase

INVENTOR(S):

Ernst, Alexander; Huth, Andreas; Krueger, Martin;

Thierauch, Karl-Heinz; Menrad, Andreas; Haberey,

Martin

PATENT ASSIGNEE(S):

Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO.

DATE

date of good

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WO 2002-EP4923
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      WO 2002090349
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           PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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PRIORITY APPLN. INFO.:
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                                                                                   20020503
                               MARPAT 137:352899
OTHER SOURCE(S):
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GΙ

Title compds. I [D, E, F, G = N, (un) substituted CH; A = (un) substituted AB NH; W = O, S, H2, (un) substituted NH; X, Z = (un) substituted alkylene; R1 = (un) substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (un)substituted hetaryl N-oxide; R3 = H, alkyl] were prepared These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3ylbenzamide with isonicotinaldehyde N-oxide and had IC50 for inhibition of VEGFR II of 0.03 µM.

IT 352227-85-1P 474760-13-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR

RN

II kinase)

352227-85-1 CAPLUS

3-Pyridinecarboxamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[3-CN (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 474760-13-9 CAPLUS

CN 3-Pyridinecarboxamide, N-3-isoquinolinyl-2-[[(1-oxido-4pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 11 ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

CAPLUS COPYRIGHT 2004 ACS on STN

2002:658116 CAPLUS

137:201332

3

Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan,

applical

Chester Chenguang

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 502 pp.

DOCUMENT TYPE: CODEN: PIXXD2
Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PAT | PATENT NO. | | | | | | DATE | ATE | | APF | | rion | | | D. | ATE | |
|----------|------------|-------|-----|-----|------------|-----|------|---------|-----|------------------------|-------|-------|-----|-----|-----|------|-----|
| WO | 20020 | 0664 | 70 | | A1 | _ | 2002 | 0829 | | WO | | | | | 2 | 0020 | 111 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BE | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
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| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN | I, MW | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK | , SL | TJ, | TM, | TN, | TR, | TT, | TZ, |
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| | | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE | I, IT | LU, | MC, | NL, | PT, | SE, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GÇ | , GW | ML, | MR, | NE, | SN, | TD, | TG |
| US | 2003 | 12533 | 39 | | A1 | | 2003 | 0703 | | US | 2002 | -4668 | 1 | | 2 | 0020 | 110 |
| BR | 20020 | 00643 | 35 | | Α | | 2003 | 0923 | | BR | 2002 | -6435 | | | 2 | 0020 | 111 |
| EP | 13583 | 184 | | | A 1 | | 2003 | 1105 | | ΕP | 2002 | -7173 | 25 | | 2 | 0020 | 111 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR | R, IT | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | | | - | - | - | | TR | | • | | | - | • |
| EE | 20030 | 00324 | 4 | - | A | · | 2003 | 1215 | · | $\mathbf{E}\mathbf{E}$ | 2003- | -324 | | | 2 | 0020 | 111 |
| JP | 20045 | 53148 | 3 4 | | Т2 | | 2004 | 1014 | | JP | 2002 | -5659 | 84 | | 2 | 0020 | 111 |
| ZA | 20030 | 00519 | 97 | | Α | | 2004 | 0319 | | ZΑ | 2003- | -5197 | | | 2 | 0030 | 704 |
| | 20030 | | | | | | | | | | | -3181 | | | 2 | 0030 | 711 |
| PRIORITY | APPI | LN.] | | | | | | | | | | -2613 | |] | P 2 | 0010 | 112 |
| | | | | - | | | | | | US | 2001- | -3237 | 64P | 1 | P 2 | 0010 | 919 |
| | | | | | | | | | | US | 2002- | -4668 | 1 | 7 | A 2 | 0020 | 110 |
| * | | | | | | | | | | WO | 2002- | -US74 | 3 | | | 0020 | 111 |
| OTHER SC | URCE | (S): | | | MARI | PAT | 137: | 2013: | 32 | | | | | | | | |

$$R^{2} = \begin{bmatrix} A^{1} - XR^{1} \\ A & A^{2} - YR \end{bmatrix}$$

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered

partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C: ZNR3, C: ZN(R3)R4; Z = O, S; Y = N: CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un) substituted heterocyclyl, 9-11 membered (un) substituted fused heterocycly1, cycloalky1, cycloalkeny1; R2 = H, halo, oxo, SH, COOH,
CHO; R3 = H, alky1, 5-, or 6-membered heterocycly1; R4 = alkyleny1, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compound II was prepared from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

IT 453561-03-0P 453561-73-4P 453561-77-8P 453561-95-0P 453562-83-9P 453563-07-0P

453563-37-6P 453563-79-6P 453564-01-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

RN 453561-03-0 CAPLUS

CN

3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 453561-73-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-5-fluoro-N-[4-(1-methylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 453561-77-8 CAPLUS

CN Carbamic acid, [2-[4-[[[2-[(4-pyridinylmethyl)amino]-3-pyridinyl]carbonyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 453561-95-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-nitrophenyl]-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 453562-83-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-chloro-4-pyridinyl)methyl]amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[[1-(diphenylmethyl)-3-azetidinyl]oxy]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 453564-01-7 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-1,1-dioxido-1,2-benzisothiazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

352227-57-7P, 2-[(Pyridin-4-ylmethyl)amino]-N-(3-IT trifluoromethylphenyl)nicotinamide 352227-65-7P 352227-72-6P 352227-74-8P 453561-04-1P 453561-05-2P 453561-06-3P 453561-07-4P 453561-08-5P 453561-09-6P 453561-11-0P 453561-12-1P 453561-14-3P 453561-15-4P 453561-16-5P 453561-17-6P 453561-20-1P 453561-21-2P 453561-22-3P 453561-23-4P 453561-26-7P 453561-27-8P 453561-29-0P 453561-32-5P 453561-33-6P 453561-34-7P 453561-35-8P 453561-36-9P 453561-37-0P 453561-38-1P 453561-71-2P 453561-72-3P 453561-75-6P 453561-76-7P 453561-78-9P 453561-80-3P 453561-81-4P, 2-[(2,3-Dihydrobenzofuran-5ylmethyl)amino]-N-[3,3-dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1Hindol-6-yl]nicotinamide 453561-82-5P 453561-83-6P 453561-84-7P 453561-85-8P, N-[1-(2-Aminoacetyl)-3,3dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxypyridin-4-

●x HCl

RN 453562-74-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:539663 CAPLUS

DOCUMENT NUMBER:

137:109210

TITLE:

Preparation of substituted arylamine derivatives and

methods of use as antitumor agents

INVENTOR(S):

Chen, Guoqing; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Huang, Qi; Kim, Joseph L.; Kim, Tae-Seong; Patel, Vinod F.; Smith, Leon M.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester

Chenguang

PATENT ASSIGNEE(S):

Amgen Inc., USA

SOURCE:

PCT Int. Appl., 253 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

J 2 2000

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DATE
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                                                                  20020111
    WO 2002055501
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    EP 1358161
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                                           EP 2002-717324
                                                                  20020111
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           JP 2002-556173
                                                                  20020111
     JP 2004531473
                         T2
                               20041014
                                           US 2001-261360P
                                                              P 20010112
PRIORITY APPLN. INFO.:
                                           US 2001-323686P
                                                              P 20010919
                                           US 2002-46526
                                                              A 20020110
                                                               W 20020111
                                           WO 2002-US742
OTHER SOURCE(S):
                        MARPAT 137:109210
GI
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. I [B1 and B2 independently equal C or N, wherein B1B2 form AB part of 5-6 membered heteroaryl ring A; R1 = one or more substituents selected from H, halo, oxo, (un) substituted cycloalkyl, phenylalkyl, etc.; R2 = (un) substituted cycloalkyl, cycloalkenyl, 6-10 membered aryl or 5-6membered heterocyclyl, etc.; R3 = (un)substituted aryl; R4 = H, alkyl, (un) substituted Ph or aralkyl; X1 = bond, alkylenyl, alkenylenyl and alkynylenyl, where one of the CH2 groups may be substituted with O or NH, wherein X1 is optionally substituted with OH; X2 = (un) substituted N containing linker, e.g., -NHCH2-], and there pharmaceutically acceptable derivs., are prepared and disclosed as agents effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. Thus, II was prepared via arylation of 1-dimethylamino-2-propyne with 3-bromo-5-trifluoromethylaniline, hydrogenation, amidation with 2-chloropyridine-3-carbonyl chloride and chloro-substitution with 4-fluorobenzylamine. Selected compds. of the invention, e.g., II, inhibited VEGF-stimulated cell proliferation at a level below 50 nM. invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.
- IT 442846-11-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of substituted aminopyridines as antitumor agents)

- RN 442846-11-9 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2004 ACS on STN ANSWER 10 OF 11

ACCESSION NUMBER:

2001:833303 CAPLUS

DOCUMENT NUMBER:

135:357941

TITLE:

Preparation of aminoheteroarylcarboxamides as vascular

endothelial growth factor receptor inhibitors.

INVENTOR(S):

Seidelmann, Dieter; Krueger, Martin; Petrov, Orlin;

Huth, Andreas; Thierauch, Karl-Heinz; Menrad, Andreas;

Haberey, Martin

PATENT ASSIGNEE(S):

Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 39 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | KIND DATE | | | 1 | APPI | ICAT | | DATE | | | | |
|-----------------|------------|------|------|-----|-----|-----------|------|------|-----|----------|--------|------|------|-----|-----|------|-----|
| | 2001 | | | | A2 | _ | 2001 | 1115 | 1 | WO 2 | 2001-1 | EP52 | 64 | | 2 | 0010 | 509 |
| WO | 2001 | 0857 | 15 | | А3 | | 2002 | 0418 | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NO, | NZ, | PL, | PT, |
| | | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, |
| | | UZ, | VN, | YU, | ZA, | ZW, | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | ΤG | | |
| DE | 1002 | 3492 | | | A1 | | 2001 | 1122 | | DE 2 | 2000- | 1002 | 3492 | | 2 | 0000 | 509 |
| US | 2004 | 2249 | 68 | | A1 | | 2004 | 1111 | . 1 | US 2 | 2003- | 2755 | 84 | | 2 | 0030 | 509 |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | DE 2 | 2000- | 1002 | 3492 | 7 | A 2 | 0000 | 509 |
| | | | | | | | | | 8 | WO 2 | 2001-1 | EP52 | 64 | 1 | w 2 | 0010 | 509 |
| THER SOURCE(S): | | | | | MAR | TAS | 135: | 3579 | 41 | | | | | | | | |

GI

Title compds. [I; A = NR7; W = O, S, H2, NR8; Z = bond, NR10, N, alkyl, etc.; R1 = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (substituted) aryl, heteroaryl; R3-R6 = H, (substituted) alkoxy, alkyl, carboxyalkyl; X = alkylene; R7 = H, alkyl; R8, R10 = H, alkyl; D = N, CR3; E = N, CR4; F = N, CR5; G = N, R6], were prepared Thus, 3-aminoisoquinoline in PhMe was treated with Me3Al in PhMe; after 10 min. Me 4-[(4-pyridyl)methyl]aminopyrimidine-5-carboxylate was added followed by heating at 120° to give 24% N-isoquinolin-3-yl 4-[(4-pyridyl)methyl]aminopyrimidine-5-carboxamide. The latter inhibited VEGFR II with IC50 = 100 nM.

IT 372512-44-2P 372512-48-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoheteroarylcarboxamides as vascular endothelial growth factor receptor inhibitors)

372512-44-2 CAPLUS

RN

CN

2-Pyridinecarboxamide, N-3-isoquinolinyl-3-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 372512-48-6 CAPLUS

CN 2-Pyridinecarboxamide, N-1H-indazol-5-yl-3-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:565010 CAPLUS

DOCUMENT NUMBER:

135:137407

TITLE:

Preparation of 2-aminonicotinamides as VEGF-receptor

tyrosine kinase inhibitors

INVENTOR(S):

Manley, Paul William; Bold, Guido

PATENT ASSIGNEE(S):

Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | CENT : | NO. | | | KIND DATE | | | | APPI | LICAT | ION | NO. | DATE | | | | |
|-----------------|--------|-------|------|-----|-----------|-----|------|------|------|-------|-------|------|---------------|-----|------|------|-----|
| WO | 2001 | 0551 | 14 | | | | | | | WO 2 | 2001- | EP83 | 5 | | 2 | 0010 | 125 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | , BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | , FI, | GB, | GD, | GE, | GH, | GM, | HR, |
| | | HU, | ID, | IL, | IN, | IS, | JΡ, | ΚE, | KG, | KP, | , KR, | KZ, | LC, | LK, | LR, | LS, | LT, |
| | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | , MZ, | NO, | NZ, | PL, | PT, | RO, | RU, |
| | | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | , TT, | TZ, | UA, | UG, | US, | UZ, | VN, |
| | | YU, | ZA, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD | , RU, | ТJ, | \mathbf{TM} | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | TZ, | ŪG, | ZW, | AT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | , LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GW, | ML | , MR, | NE, | SN, | TD, | ΤG | | |
| | | | | | | | | | | AU 2 | 2001- | 2849 | 9 | | 2 | 0010 | 125 |
| AU | 7716 | 26 | | | B2 | | 2004 | 0401 | | | | | | | | | |
| BR | 2001 | 0078 | 05 | | Α | | 2002 | 1022 | | BR 2 | 2001- | 7805 | | | 2 | 0010 | 125 |
| EP | 1259 | 487 | | | A1 | | 2002 | 1127 | | EP 2 | 2001- | 9468 | 54 | | 2 | 0010 | 125 |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | , IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | , TR | | | | | | |
| JP | 2003 | 5208 | 53 | | Т2 | | 2003 | 0708 | | JP 2 | 2001- | 5550 | 56 | | 2 | 0010 | 125 |
| NZ | 5200 | 05 | | | Α | | 2004 | 0227 | | NZ 2 | 2001- | 5200 | 05 | | 2 | 0010 | 125 |
| | | | | | | | | | | | 2002- | | | | | | |
| / US | 2003 | 0326 | | | | | | | | US 2 | 2002- | 1810 | 05 | | 2 | 0020 | 711 |
| \ us | 6624 | ر 174 | | | В2 | | 2003 | 0923 | | | | | | | | | |
| ZA | 2002 | 0059 | 88 | | Α | | 2003 | 0728 | | ZA 2 | 2002- | 5988 | | | 2 | 0020 | 726 |
| PRIORITY | APP | LN. | INFO | .: | | | | | | GB 2 | 2000- | 1930 | | 1 | A. 2 | 0000 | 127 |
| | | | | | | | | | | WO 2 | 2001- | EP83 | 5 | 1 | W 2 | 0010 | 125 |
| OTHER SO | OURCE | (S): | | | MAR | PAT | 135: | 1374 | 07 | | | | | | | | |

$$\begin{array}{c|c}
W \\
NR^{1}R^{2} \\
N \\
R^{3} \\
|CRR \mid_{\overline{n}} X
\end{array}$$

AB The title compds. [I; n = 1-6; W = O, S; R1, R3 = H, alkyl, acyl; R2 = (un)substituted cycloalkyl, aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S; R, R' = H, alkyl; X = (un)substituted aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S] and their pharmaceutically acceptable salts, useful for therapy of a disease which responds to an inhibition of the VEGF-receptor tyrosine kinase activity (such as neoplastic disease), were prepared and formulated. Thus, amidation of 3-aminobenzotrifluoride with 2-chloronicotinoyl chloride followed by reacting 4-pyridineethanamine with the resulting carboxamide afforded I [n = 2; R, R' = H; X = 4-pyridyl; W = O; R1, R3 = H; R2 = 3-(F3C)C6H4].

IT 352227-59-9P 352227-60-2P 352227-77-1P 352227-82-8P 352227-83-9P 352227-84-0P 352227-88-4P 352227-89-5P 352227-93-1P 352227-97-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors)

RN 352227-59-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-60-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 352227-77-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-82-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 352227-83-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 352227-84-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-88-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-89-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$Me-C = C$$

$$CF3$$

$$NH$$

$$NH$$

$$NH$$

RN 352227-93-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 352227-97-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-propyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

TT 352227-57-7P 352227-58-8P 352227-61-3P 352227-62-4P 352227-63-5P 352227-64-6P 352227-65-7P 352227-69-1P 352227-70-4P 352227-71-5P 352227-72-6P 352227-73-7P 352227-74-8P 352227-75-9P 352227-76-0P 352227-78-2P 352227-80-6P 352227-81-7P 352227-85-1P 352227-87-3P 352227-90-8P 352227-91-9P 352227-94-2P 352227-95-3P 352227-96-4P 352227-98-6P 352227-99-7P 352228-01-4P 352228-02-5P 352228-03-6P 352228-04-7P 352228-05-8P 352228-06-9P 352228-07-0P 352228-08-1P 352228-09-2P 352228-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors)

RN 352227-57-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-58-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-methyl-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-61-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[3,4-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-62-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-63-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[trans-4-(1,1-dimethylethyl)cyclohexyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352227-64-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 352227-65-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-butylphenyl)-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 352227-69-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-pentylphenyl)-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 352227-70-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1-propynyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me-C} = \text{C} \\ \text{NH} \\ \text{NH} \\ \text{C} \end{array}$$

RN 352227-71-5 CAPLUS

CN 3-Pyridinecarboxamide, N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 352227-72-6 CAPLUS

CN 3-Pyridinecarboxamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 352227-73-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-74-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-75-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-76-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-78-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-methyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-80-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-methyl-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-81-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[cis-4-(1,1-dimethylethyl)cyclohexyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352227-85-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-87-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-1-methyl-6-oxo-3-

pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-90-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-91-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-94-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-95-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-96-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-propyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352227-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-propyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 352227-99-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-5-thiazolyl- (9CI)
(CA INDEX NAME)

RN 352228-01-4 CAPLUS

CN 3-Pyridinecarboxamide, N-3-isoquinolinyl-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 352228-02-5 CAPLUS

CN 3-Pyridinecarboxamide, N-1H-indazol-5-yl-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 352228-03-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 352228-04-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-

1H-indazol-5-yl- (9CI) (CA INDEX NAME)

RN 352228-05-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N[cis-4-(1,1-dimethylethyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352228-06-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[trans-4-(1,1-dimethylethyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352228-07-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[4-propyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352228-08-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-ethyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 352228-09-2 CAPLUS

3-Pyridinecarboxamide, N-[3,4-bis(trifluoromethyl)phenyl]-2-[[(1,6-dihydro-CN 6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN352228-10-5 CAPLUS

3-Pyridinecarboxamide, N-[3,4-bis(trifluoromethyl)phenyl]-2-[[(1,6-dihydro-CN 1-methyl-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 19 1-3 ibib abs hitstr MISSING OPERATOR L9 1-3

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d 19 1-3 ibib abs hitstr

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:950057 CAPLUS

DOCUMENT NUMBER:

140:16647

TITLE:

applicante Preparation of 2-aminopyridine-3-carboxamides as

remedies for angiogenesis mediated diseases

INVENTOR(S):

Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S.

us 2002-197974

A 20020717

Ser. No. 46,681.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | KINI |) | DATE | | | APPL | ICAT: | ION 1 | | DATE | | | | |
|-----------------------|--------------------------------|-----|------------|------------|------------|------------|------------|-------------------|---------------------------------|---------------------------------|------------|---|------------|------------|-------------------|---|------------|------------|--|
| | US 2003225106 US 2003125339 | | | | | | | | US 2002-197974 US 2002-46681 | | | | | | 20020717 20020110 | | | | |
| | ZA 2003005197 | | | | | | | | | ZA 2003-5197 WO 2003-US22417 | | | | | | | | | |
| | WO | | ΑE, | AG, | AL, | AM, | AT, | AU, DK, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | | GM, LS, | HR, LT, | HU, LU, | ID, LV, | IL, MA, | IN, MD, | IS, MG, | JP, MK, | KE, MN, | KG, MW, | KP, MX, | KR, MZ, | KZ, NO, | LC, NZ, | LK, OM, | LR, PH, | |
| | | | | UG, | | | | SD, YU, | | | | | | | | | | | |
| | | RW: | GH, CH, | GM, CY, | CZ, | DE, | DK, | MZ, EE, SK, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | |
| | | | GW, | ML, | MR, | | | TD, | | | | | | | | | | | |
| PRIORITY APPLN. INFO. | | | | | | | | | | | US 2 | 3 2001-261339P 3 20 01-3237 64P 3 2002-46681 | | | | P 20010112 P 20010919 A2 20020110 | | | |

OTHER SOURCE(S):

MARPAT 140:16647

GΙ

The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the

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like, were prepared Thus, the title compound II was prepared from
     2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde.
                                                                             The
     compds. I showed inhibition of KDR kinase at < 50 \mu M. Many compds. I
     inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM.
     Pharmaceutical composition comprising the compound I is claimed.
     453561-26-7P 453561-87-0P, (S)-N-[3-(Pyrrolidin-2-
IT
     ylmethoxy)-4-pentafluoroethylphenyl]-2-[(pyridin-4-
     ylmethyl)amino]nicotinamide 453561-89-2P, (R)-N-[3-(Pyrrolidin-2-
     ylmethoxy) -4-pentafluoroethylphenyl] -2-[(pyridin-4-
     ylmethyl)amino]nicotinamide 453562-48-6P 453563-13-8P
     453563-27-4P 453563-62-7P 453563-68-3P
     453563-72-9P 453564-11-9P 629650-34-6P
     629650-82-4P 629650-93-7P 629651-00-9P
     629651-35-0P 629651-39-4P 629651-49-6P
     629651-63-4P 629651-81-6P 629651-90-7P
     629651-98-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis
        mediated diseases)
RN
     453561-26-7 CAPLUS
     3-Pyridinecarboxamide, N-(4-chlorophenyl)-5-(4-methoxyphenyl)-2-[(4-
CN
     pyridinylmethyl)amino] - (9CI) (CA INDEX NAME)
```

RN 453561-87-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(pentafluoroethyl)-3-[(2S)-2pyrrolidinylmethoxy]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 453561-89-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(pentafluoroethyl)-3-[(2R)-2-pyrrolidinylmethoxy]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 453562-48-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(benzo[b]thien-3-ylmethyl)amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 453563-13-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-phenoxyphenyl)-2-[(4-pyridinylmethyl)amino]-

(9CI) (CA INDEX NAME)

RN 453563-27-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2,3-dihydro-5-benzofuranyl)methyl]amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 453563-62-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 453563-68-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]methyl]amino]-N-[4-(pentafluoroethyl)phenyl]- (9CI) (CA INDEX NAME)

 F_3C-CF_2

RN 453563-72-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(1-methyl-4-piperidinyl)methoxy]-4-pyridinyl]methyl]amino]-N-[3-(1-methyl-4-piperidinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} N \\ NH-CH_2 \\ \hline \\ C=0 \\ NH \\ CF_3 \\ \end{array}$$

RN 453564-11-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[3-[2-(1-pyrrolidinyl)ethoxy]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ N - & CH_2 - CH_2 - O \end{array}$$

RN 629650-34-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(3-azetidinylmethoxy)-4-(pentafluoroethyl)phenyl]-2-[(4-pyrimidinylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 629650-82-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[[(dimethylamino)acetyl]amino]-4-(1,1-dimethylethyl)phenyl]-2-[[(2-methoxy-4-pyrimidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 629650-93-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-[3-[[(3R)-tetrahydro-3-furanyl]oxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 629651-00-9 CAPLUS

CN Carbamic acid, [3-[[[2-[[[2-(methylamino)-4-pyrimidinyl]methyl]amino]-3-pyridinyl]carbonyl]amino]-5-(trifluoromethyl)phenyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 629651-35-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-1,4,4-trimethyl-7-quinolinyl)- (9CI) (CA INDEX NAME)

629651-39-4 CAPLUS RN

3-Pyridinecarboxamide, 2-[[[2-(methylamino)-4-pyridinyl]methyl]amino]-N-CN (1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

629651-49-6 CAPLUS

RN1-Pyrrolidinecarboxylic acid, 2-[[2,2,2-trifluoro-1-[4-[[[2-[(4-CN pyridinylmethyl)amino]-3-pyridinyl]carbonyl]amino]phenyl]-1-(trifluoromethyl)ethoxy]methyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN 3-Pyridinecarboxamide, 2-[[[2-(methylamino)-4-pyridinyl]methyl]amino]-N-(1,5,6,7-tetrahydro-5,5-dimethyl-7-oxo-1,8-naphthyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 629651-81-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2,3-dihydro-3,3-dimethyl-1-[(2S)-2-pyrrolidinylcarbonyl]-1H-indol-6-yl]-2-[[(2-methoxy-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 629651-90-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[1,1-dimethyl-2-(4-morpholinyl)ethyl]phenyl]-2[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} N \\ CH_2 \\ N \\ Me \end{array}$$

RN629651-98-5 CAPLUS

3-Pyridinecarboxamide, 2-[[(2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-CN yl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-quinolinyl)- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2004 ACS on STN ANSWER 2 OF 3

ACCESSION NUMBER:

2002:868928 CAPLUS

DOCUMENT NUMBER:

137:352900

TITLE:

Selective anthranilamide pyridine amides as inhibitors

of VEGFR-2 and VEGFR-3

INVENTOR(S):

Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey,

Martin

PATENT ASSIGNEE(S):

Schering Aktiengesellschaft, Germany

SOURCE:

PCT Int. Appl., 115 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA: | rent : | NO. | | | KIND | | DATE | • | APPLICATION NO. | | | | | | DATE | | | |
|--------------------------------|--------|-----|-----|-----|----------------------------|-----|------|-----|-----------------|-----|-----|-----|-----|-----|------|----------|-----|--|
| WO 2002090352 WO 2002090352 | | | | | A2 20021114 A3 20030501 | | | | WO 2002-EP4924 | | | | | | | 20020503 | | |
| WO | | AE, | AG, | | AM, | AT, | AU, | AZ, | | | | | | | | | | |
| | | co, | CR, | CU, | CZ, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | |
| | | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | PL, | |
| | | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | |

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UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                     20010508
                                             DE 2001-10123574
     DE 10123574
                          A1
                                 20021128
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                                             DE 2001-10125294
     DE 10125294
                          A1
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                                             DE 2001-10164590
                                 20030710
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                                             EP 2002-735333
                                                                     20020503
                                 20040303
     EP 1392680
                          A2
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             BR 2002-9485
                                                                     20020503
                          Α
                                 20040706
     BR 2002009485
                                             JP 2002-587431
                                                                     20020503
     JP 2004528379
                          T2
                                 20040916
                                                                    20010508
                                             DE 2001-10123574
PRIORITY APPLN. INFO .:
                                                                    20010515
                                             DE 2001-10125294
                                                                  Α
                                                                  Α
                                                                     20011221
                                             DE 2001-10164590
                                                                     20020503
                                             WO 2002-EP4924
                                                                  W
                         MARPAT 137:352900
OTHER SOURCE(S):
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GΙ

Title compds. I [G, L, M, Q = N, (un) substituted CH, ≤1 of them AB being N; R = (un) substituted N heterocycle; R1 = (un) substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylaton and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 μM .

IT 474799-26-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474799-26-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[3-[(3-

isoquinolinylamino)carbonyl]-2-pyridinyl]amino]methyl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:658116 CAPLUS 137:201332

DOCUMENT NUMBER: TITLE:

Preparation of heterocyclylalkylamine derivatives as

remedies for angiogenesis mediated diseases

INVENTOR(S):

Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan,

Chester Chenguang

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA

PCT Int. Appl., 502 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

2

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002066470

A1 20020829 WO 2002-US743

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

application

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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                     20020110
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     EP 1358184
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                             EE 2003-324
     EE 200300324
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                                 20031215
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                                                                     20030704
                                             ZA 2003-5197
     ZA 2003005197
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                                 20040319
                                                                     20030711
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                                             US 2001-261339P
                                                                     20010112
PRIORITY APPLN. INFO.:
                                                                     20010919
                                             US 2001-323764P
                                                                  Ρ
                                                                     20020110
                                             US 2002-46681
                                                                  Α
                                                                     20020111
                                                                  W
                                             WO 2002-US743
                         MARPAT 137:201332
OTHER SOURCE(S):
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GΙ

$$R^{2} = \begin{bmatrix} A^{1} - XR^{1} \\ A \end{bmatrix}_{A^{2} - YR}$$

Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered AΒ partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un) substituted heterocyclyl, 9-11 membered (un) substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in

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such processes. Thus, the title compound II was prepared from Me
     3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine
     carboxaldehyde via coupling reaction.
     453561-26-7P 453561-87-0P, (S)-N-[3-(Pyrrolidin-2-
IT
     ylmethoxy)-4-pentafluoroethylphenyl]-2-[(pyridin-4-
     ylmethyl)amino]nicotinamide 453561-89-2P, (R)-N-[3-(Pyrrolidin-2-
     ylmethoxy)-4-pentafluoroethylphenyl]-2-[(pyridin-4-
     ylmethyl)amino]nicotinamide 453562-48-6P 453563-13-8P
     453563-27-4P 453563-62-7P 453563-68-3P
     453563-72-9P 453564-11-9P 453564-46-0P
     453564-69-7P 453564-89-1P 453564-94-8P
     453564-98-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis
        mediated diseases)
     453561-26-7 CAPLUS
RN
     3-Pyridinecarboxamide, N-(4-chlorophenyl)-5-(4-methoxyphenyl)-2-[(4-
CN
     pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 453561-89-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(pentafluoroethyl)-3-[(2R)-2-pyrrolidinylmethoxy]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 453562-48-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(benzo[b]thien-3-ylmethyl)amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 453563-13-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-phenoxyphenyl)-2-[(4-pyridinylmethyl)amino]-

(9CI) (CA INDEX NAME)

453563-27-4 CAPLUS RN

3-Pyridinecarboxamide, 2-[[(2,3-dihydro-5-benzofuranyl)methyl]amino]-N-[4-CN(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

453563-62-7 CAPLUS RN

 $3-Pyridine carboxamide, \ N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-5-[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-5-[(3R)-1-methyl-2-pyrrolidinyl]methyl-2-[(3R)-1-methyl-2-pyrrolidinyl]methoxy]-5-[(3R$ CN (trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 453563-68-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]methyl]amino]-N-[4-(pentafluoroethyl)phenyl]- (9CI) (CA INDEX NAME)

NH-CH₂
NH-CH₂
NH-(CH₂) 3-N
NH
$$C=0$$
NH
 F_3C-CF_2

RN 453563-72-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(1-methyl-4-piperidinyl)methoxy]-4-pyridinyl]methyl]amino]-N-[3-(1-methyl-4-piperidinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} N \\ N \\ NH \\ CH_2 \\ \hline \\ NH \\ CF_3 \\ \end{array}$$

RN 453564-11-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[3-[2-(1-pyrrolidinyl)ethoxy]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ N - & CH_2 - CH_2 - O \end{array}$$

RN 453564-46-0 CAPLUS CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(5-quinolinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 453564-69-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(7-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$O = C$$
 $NH - CH_2$
 $NH - CH_2$
 $NH - CH_2$
 $NH - CH_2$
 $NH - CH_2$

RN 453564-89-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[(1-methyl-4-piperidinyl)methyl]-5(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 453564-94-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[3-(1-methyl-4-piperidinyl)propoxy]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 453564-98-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[2,3-dihydro-3,3-dimethyl-6-[[[2-[(4-pyridinylmethyl)amino]-3-pyridinyl]carbonyl]amino]-1H-indol-1-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT